2Am

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 265/22, 239/90, 279/08, A61K 31/535, 31/505, C07C 235/48, 327/48, 323/62 — A1

(11) International Publication Number: WO 99/16758

(43) International Publication Date: 8 April 1999 (08.04.99)

(21) International Application Number: PCT/US98/22569

(22) International Filing Date: 26 October 1998 (26.10.98)

(71) Applicants: DR. REDDY'S RESEARCH FOUNDATION [IN/IN]; 7-1-27, Ameerpet, Hyderabad, Andhra Pradesh 500 016 (IN). REDDY-CHEMINOR, INC. [US/US]; 66 South Maple Avenue, Ridgewood, NJ 07450 (US).

(72) Inventors: LOHRAY, Vidya, Bhushan; 7-1-27 Ameerpet, Hyderabad, Andhra Pradesh 500 016 (IN). LOHRAY, Braj, Bhushan; 7-1-27 Ameerpet, Hyderabad, Andhra Pradesh 500 016 (IN). PARASELLI, Rao, Bheema; 7-1-27 Ameerpet, Hyderabad, Andhra Pradesh 500 016 (IN). RAMANUJAM, Rajagopalan; 7-1-27 Ameerpet, Hyderabad, Andhra Pradesh 500 016 (IN). CHAKRABARTI, Ranjan; 7-1-27 Ameerpet, Hyderabad, Andhra Pradesh 500 016 (IN).

(74) Agent: CORD, Janet, I.; Ladas & Parry, 26 West 61st Street, New York, NY 10023 (US).

(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published

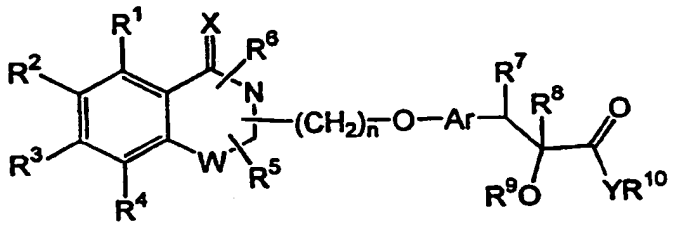
With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

Upon the request of the applicant, before the expiration of the time limit referred to in Article 21(2)(a).

(54) Title: NOVEL HETEROCYCLIC COMPOUNDS AND THEIR USE IN MEDICINE, PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

(57) Abstract



(I)

The present invention relates to novel antiobesity and hypocholesterolemic compounds, their derivatives, their analogs, their tautomeric forms, their stereisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compositions containing them. More particularly, the present invention relates to novel β-aryl-α-oxysubstituted alkylcarboxylic acids of general formula (I), their derivatives, their analogs, their tautomeric forms, their stereosomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compositions containing them.

FOR THE PURPOSES OF INFORMATION ONLY

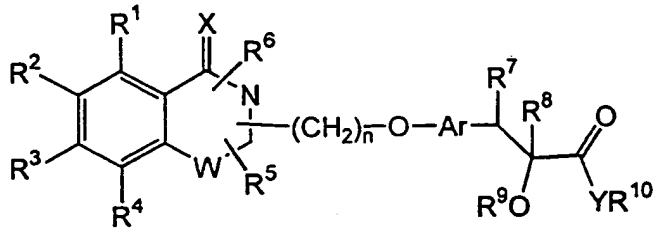
Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	**ES**	Spain	**LS**	Lesotho	**SI**	Slovenia
AM	Armenia	**FI**	Finland	**LT**	Lithuania	**SK**	Slovakia
AT	Austria	**FR**	France	**LU**	Luxembourg	**SN**	Senegal
AU	Australia	**GA**	Gabon	**LV**	Latvia	**SZ**	Swaziland
AZ	Azerbaijan	**GB**	United Kingdom	**MC**	Monaco	**TD**	Chad
BA	Bosnia and Herzegovina	**GE**	Georgia	**MD**	Republic of Moldova	**TG**	Togo
BB	Barbados	**GH**	Ghana	**MG**	Madagascar	**TJ**	Tajikistan
BE	Belgium	**GN**	Guinea	**MK**	The former Yugoslav Republic of Macedonia	**TM**	Turkmenistan
BF	Burkina Faso	**GR**	Greece			**TR**	Turkey
BG	Bulgaria	**HU**	Hungary	**ML**	Mali	**TT**	Trinidad and Tobago
BJ	Benin	**IE**	Ireland	**MN**	Mongolia	**UA**	Ukraine
BR	Brazil	**IL**	Israel	**MR**	Mauritania	**UG**	Uganda
BY	Belarus	**IS**	Iceland	**MW**	Malawi	**US**	United States of America
CA	Canada	**IT**	Italy	**MX**	Mexico	**UZ**	Uzbekistan
CF	Central African Republic	**JP**	Japan	**NE**	Niger	**VN**	Viet Nam
CG	Congo	**KE**	Kenya	**NL**	Netherlands	**YU**	Yugoslavia
CH	Switzerland	**KG**	Kyrgyzstan	**NO**	Norway	**ZW**	Zimbabwe
CI	Côte d'Ivoire	**KP**	Democratic People's Republic of Korea	**NZ**	New Zealand		
CM	Cameroon			**PL**	Poland		
CN	China	**KR**	Republic of Korea	**PT**	Portugal		
CU	Cuba	**KZ**	Kazakstan	**RO**	Romania		
CZ	Czech Republic	**LC**	Saint Lucia	**RU**	Russian Federation		
DE	Germany	**LI**	Liechtenstein	**SD**	Sudan		
DK	Denmark	**LK**	Sri Lanka	**SE**	Sweden		
EE	Estonia	**LR**	Liberia	**S**	Singapore		

NOVEL HETEROCYCLIC COMPOUNDS AND THEIR USE IN MEDICINE; PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Field of Invention

The present invention relates to novel antiobesity and hypocholesterolemic compounds, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compositions containing them. More particularly, the present invention relates to novel β-aryl-α-oxysubstituted alkylcarboxylic acids of the general formula (I), their derivatives, their analogs, their tautomeric forms, their stereo-somers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compositions containing them.



(I)

The present invention also relates to a process for the preparation of the above said novel compounds, their analogs, their derivatives, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, novel intermediates and pharmaceutical compositions containing them.

The compounds of the present invention lower total cholesterol (TC); increase high density lipoprotein (HDL) and decrease low density lipoprotein (LDL), which have beneficial effect on coronary heart disease and atherosclerosis.

The compounds of general formula (I) are useful in reducing body weight and for the treatment and/or prophylaxis of diseases such as hypertension, coronary heart disease, atherosclerosis, stroke, peripheral vascular diseases and related disorders. These compounds are useful for the treatment of familial hypercholesterolemia, hypertriglyceridemia, lowering of atherogenic lipoproteins, very low density lipoprotein (VLDL) and LDL. The compounds of the present invention can be used for the treatment of certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, and nephropathy The compounds of general formula (I) are also useful for the

treatment/prophylaxis of insulin resistance (type II diabetes), leptin resistance, impaired glucose tolerance, dyslipidemia, disorders related to syndrome X such as hypertension, obesity, insulin resistance, coronary heart disease, and other cardiovascular disorders. These compounds may also be useful as aldose reductase inhibitors, for improving cognitive functions in dementia, treating diabetic complications, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), inflammatory bowel diseases, osteoporosis, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma and for the treatment of cancer. The compounds of the present invention are useful in the treatment and/or prophylaxis of the above said diseases in combination/concomittant with one or more HMG CoA reductase inhibitors or hypolipidemic/hypolipoproteinemic agents such as fibric acid derivatives, nicotinic acid, cholestyramine, colestipol, probucol.

Background of Invention

Atherosclerosis and other peripheral vascular diseases are the major causes effect the quality of life of millions of people. Therefore, considerable attention has been directed towards understanding the etiology of hypercholesterolemia and hyperlipidemia and the development of effective therapeutic strategies.

Hypercholesterolemia has been defined as plasma cholesterol level that exceeds arbitrarily defined value called "normal" level. Recently, it has been accepted that "ideal" plasma levels of cholesterol are much below the "normal" level of cholesterol in the general population and the risk of coronary artery disease (CAD) increases as cholesterol level rises above the "optimum" (or "ideal") value. There is clearly a definite cause and effect-relationship between hypercholesterolemia and CAD, particularly for individuals with multiple risk factors. Most of the cholesterol is present in the esterified forms with various lipoproteins such as low density lipoprotein (LDL), intermediate density lipoprotein (IDL), high density lipoprotein (HDL) and partially as very low density lipoprotein (VLDL). Studies clearly indicate that there is an inverse correlationship between CAD and atherosclerosis with serum HDL-cholesterol concentrations. (Stampfer *et al., N. Engl. J. Med.*, **325** (1991), 373-381) and the risk of CAD increases with increasing levels of LDL and VLDL.

In CAD, generally "fatty streaks" in carotid, coronary and cerebral arteries, are found which are primarily free and esterified cholesterol. Miller *et al.*, (*Br. Med. J.*, **282** (1981), 1741-1744) have shown that increase in HDL-particles may decrease the number of sites of

stenosis in coronary arteries of humans, and high level of HDL-cholesterol may protect against the progression of atherosclerosis. Picardo *et al.*, (*Arteriosclerosis* **6** (1986) 434-441) have shown by *in vitro* experiment that HDL is capable of removing cholesterol from cells. They suggest that HDL may deplete tissues of excess free cholesterol and transfer them to the liver (Macikinnon *et al., J. Biol. Chem.* **261** (1986), 2548-2552). Therefore, agents that increase HDL cholesterol would have therapeutic significance for the treatment of hypercholesterolemia and coronary heart diseases (CHD).

Obesity is a disease highly prevalent in affluent societies and in the developing world and which is a major cause of morbidity and mortality. It is a state of excess body fat accumulation. The causes of obesity are unclear. It is believed to be of genetic origin or promoted by an interaction between the genotype and environment. Irrespective of the cause, the result is fat deposition due to imbalance between the energy intake versus energy expenditure. Dieting, exercise and appetite suppression has been a part of obesity treatment. There is a need for efficient therapy to fight this disease since it may lead to coronary heart disease, diabetes, stroke, hyperlipidemia, gout, osteoarthritis, reduced fertility and many other psychological and social problems.

Diabetes and insulin resistance is yet another disease which severely effects the quality of life of a large population in the world. In insulin resistance is the diminished ability of insulin to exert its biological action across a broad range of concentrations. In insulin resistance, the body secretes abnormally high amounts of insulin to compensate for this defect; failing which, the plasma glucose concentration inevitably rises and develops into diabetes. Among the developed countries, diabetes mellitus is a common problem and is associated with a variety of abnormalities including obesity, hypertension, hyperlipidemia (J. Clin. Invest., (1985) 75: 809-817; N. Engl. J. Med. (1987) 317: 350-357; J. Clin. Endocrinol. Metab., (1988) 66: 580-583; J. Clin. Invest., (1975) 68: 957-969) and other renal complications (See Patent Application No. WO 95/21608). It is now increasingly being recognized that insulin resistance and relative hyperinsulinemia have a contributory role in obesity, hypertension, atherosclerosis and type 2 diabetes mellitus. The association of insulin resistance with obesity, hypertension and angina has been described as a syndrome having insulin resistance as the central pathogenic link-Syndrome-X.

Hyperlipidemia is the primary cause of cardiovascular (CVD) and other peripheral vascular diseases. High risk of CVD is related to the higher LDL (Low Density Lipoprotein)

and VLDL (Very Low Density Lipoprotein) seen in hyperlipidemia. Patients having glucose intolerance/insulin resistance in addition to hyperlipidemia have higher risk of CVD. Numerous studies in the past have shown that lowering of plasma triglycerides and total cholesterol, in particular LDL and VLDL and increasing HDL cholesterol help in preventing cardiovascular diseases.

Peroxisome proliferator activated receptors (PPAR) are members of the nuclear receptor super family. The gamma (γ) isoform of PPAR (PPARγ) has been implicated in regulating differentiation of adipocytes (Endocrinology, (1994) 135: 798-800) and energy homeostasis (Cell, (1995) 83: 803-812), whereas the alpha (α) isoform of PPAR (PPARα) mediates fatty acid oxidation (Trend. Endocrin. Metab., (1993) 4: 291-296) thereby resulting in reduction of circulating free fatty acid in plasma (Current Biol. (1995) 5: 618–621). PPARα agonists have been found useful for the treatment of obesity (WO 97/36579). It has been recently disclosed that the hypolipidaemic effect is enhanced when the molecule has both PPARα and PPARγ agonist activity and are suggested to be useful for the treatment of syndrome X (WO 97/25042). Synergism between the insulin sensitizer (PPARγ agonist) and HMG CoA reductase inhibitor has been observed which may be useful for the treatment of atherosclerosis and xanthoma. (EP 0 753 298).

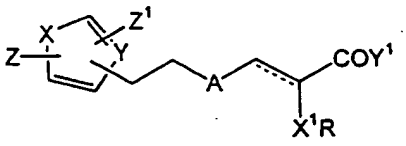
It is known that PPARγ plays an important role in adipocyte differentiation (Cell, (1996) 87, 377-389). Ligand activation of PPAR is sufficient to cause complete terminal differentiation (Cell, (1994) 79, 1147-1156) including cell cycle withdrawal. PPARγ is consistently expressed in certain cells and activation of this nuclear receptor with PPARγ agonists would stimulate the terminal differentiation of adipocyte precursors and cause morphological and molecular changes characteristics of a more differentiated, less malignant state (Molecular Cell, (1998), 465-470; Carcinogenesis, (1998), 1949-53; Proc. Natl. Acad. Sci., (1997) 94, 237-241) and inhibition of cancer expression of prostate cancer tissue (Cancer Research (1998) 58, 3344-3352). This would be useful in the treatment of certain types of cancer, which expresses PPARγ and could leading to a quite nontoxic chemotherapy.

Leptin resistance is a condition wherein the target cells are unable to respond to leptin signal. This may give rise to obesity due to excess food intake and reduced energy expenditure and cause impaired glucose tolerance, type 2 diabetes, cardiovascular diseases and such other interrelated complications. Kallen *et al* (Proc. Natl. Acad. Sci., (1996) 93,

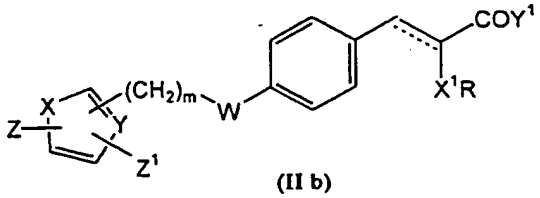
5793-5796) have reported that insulin sensitizers which perhaps due to their PPAR agonist expression and therefore lower plasm leptin concentrations. However, it has been recently disclosed that compounds having insulin sensitizing property also possess leptin sensitization activity. They lower the circulating plasma leptin concentrations by improving the target cell response to leptin (WO 98/02159).

A few β-aryl-α-hydroxy propionic acids, their derivatives, and their analogs have been reported to be useful in the treatment of hyperglycemia and hypercholesterolemia. Some of such compounds described in the prior art are outlined below :

i) U.S. Pat. 5,306,726; and WO 91/19702 disclose several 3-aryl-2-hydroxy-propionic acid derivatives of general formula (II a) and (II b) as hypolipidemic and hypoglycemic agents.

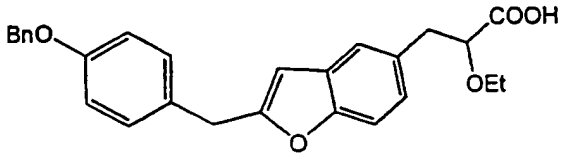


(II a)

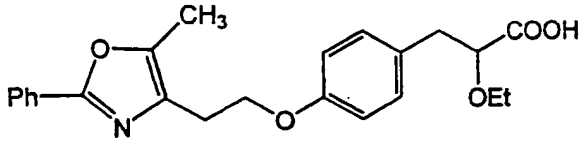


(II b)

Examples of these compounds are shown in formula (II c) and (II d)

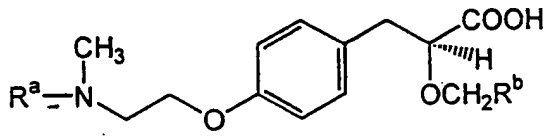


(II c)



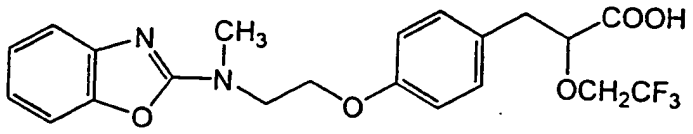
(II d)

ii) International Patent Applications, WO 95/03038 and WO 96/04260 disclose compounds of formula (II e)



(II e)

wherein R^a represents 2- benzoxazolyl or 2-pyridyl and R^b represents CF_3, CH_2OCH_3 or CH_3. A typical example is (*S*)-3-[4-[2-[N-(2-benzoxazolyl)-N-methylamino]ethoxy]phenyl]-2-(2,2,2-trifluoroethoxy)propanoic acid (II f).

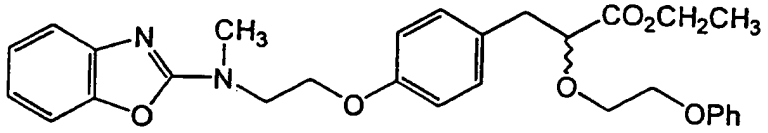


(II f)

iii) International Patent Application Nos. WO 94/13650, WO 94/01420 and WO 95/17394 disclose the compounds of general formula (II g)

$$A^1—X—(CH_2)_n—O—A^2—A^3—Y.\ R^2 \qquad \text{(II g)}$$

wherein A^1 represent aromatic heterocycle, A^2 represents substituted benzene ring and A^3 represents moiety of formula $(CH_2)_m$-CH-(OR^1), wherein R^1 represents alkyl groups, m is an integer of the range of 1-5; X represents substituted or unsubstituted N; and Y represents C=O or C=S. R^2 represents OR^3 where R^3 may be hydrogen, alkyl, aralkyl, or aryl group; and n represents an integer in the range of 2-6. An example of these compounds is shown in formula (II h)



(II h)

Summary of the Invention

With an objective to develop novel compounds for lowering cholesterol and reducing body weight with beneficial effects in the treatment and/or prophylaxis of diseases related to increased levels of lipids, atherosclerosis, coronary artery diseases, Syndrome-X, impaired glucose tolerance, insulin resistance, insulin resistance leading to type 2 diabetes and diabetic complications thereof, for the treatment of diseases wherein insulin resistance is the patho-physiological mechanism, for the treatment and/or prophylaxis of leptin resistance and complications thereof, hypertension, atherosclerosis and coronary artery diseases with better efficacy, potency and lower toxicity, we focussed our research to develop new compounds effective in the treatment of above mentioned diseases. Effort in this direction has led to compounds having general formula (I).

The main objective of the present invention is therefore, to provide novel β-aryl-α-oxysubstituted alkylcarboxylic acids, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, and their

pharmaceutically acceptable solvates and pharmaceutical compositions containing them, or their mixtures.

Another objective of the present invention is to provide novel β-aryl-α-oxysubstituted alkylcarboxylic acids, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, and their pharmaceutically acceptable solvates and pharmaceutical compositions containing them or their mixtures which may have agonist activity against PPARα and/or PPARγ, and or unsubstituted or substitutedinhibit HMG CoA reductase, in addition to agonist activity against PPARα and/or PPARγ.

Another objective of the present invention is to provide novel β-aryl-α-oxysubstituted alkylcarboxylic acids, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, and their pharmaceutically acceptable solvates and pharmaceutical compositions containing them or their mixtures having enhanced activities, without toxic effect or with reduced toxic effect.

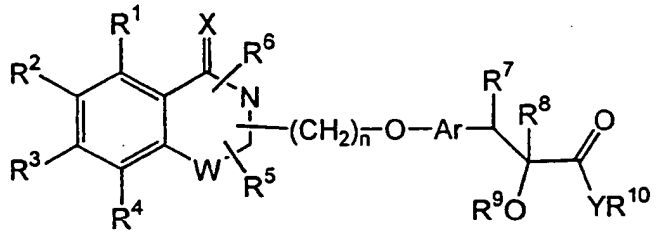
Yet another objective of the present invention is to produce a process for the preparation of novel β-aryl-α-oxysubstituted alkylcarboxylic acids of the formula (I) as defined above, their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts and their pharmaceutically acceptable solvates.

Still another objective of the present invention is to provide pharmaceutical compositions containing compounds of the general formula (I), their analogs, their derivatives, their tautomers, their stereoisomers, their polymorphs, their salts, solvates or their mixtures in combination with suitable carriers, solvents, diluents and other media normally employed in preparing such compositions.

Another objective of the present invention is to provide novel intermediates, a process for their preparation and use of the intermediates in processes for preparation of β-aryl-α-oxysubstituted alkyl carboxylic acids of formula (I), their derivatives, their analogs, their tautomers, their stereoisomers, their polymorphs, their salts and their pharmaceutically acceptable solvates.

Detailed Description of the Invention

α-Oxysubstituted propionic acids, their derivatives, and their analogs of the present invention have the general formula (I)



(I)

where X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5, and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkyloxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxy-carbonyl, aralkoxycarbonyl, amino, alkylamino which may be mono or dialkylamino group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxy-carbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5, and R^6 when attached to nitrogen atom may be same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or dialkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group or forms a bond with R^8; R^8 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, acyl group, or unsubstituted or substituted aralkyl, or R^8 forms a bond together with R^7; R^9 may be hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl

groups; R^{10} may be hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl groups; Y represents oxygen or NR^{12}, where R^{12} represents hydrogen, or unsubstituted or substituted alkyl, aryl, hydroxy-alkyl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} and R^{12} together may form a substituted or unsubstituted 5 or 6 membered cyclic structure containing carbon atoms, which may be unsubstituted or substituted contain one or more heteroatoms selected from oxygen, sulfur or nitrogen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom.

Suitable groups represented by R^1, R^2, R^3, R^4 and the groups, R^5, R^6 when attached to carbon atom may be selected from hydrogen, halogen atom such as fluorine, chlorine, bromine, or iodine; hydroxy, cyano, nitro, formyl; substituted or unsubstituted (C_1-C_{12})alkyl group, especially, linear or branched (C_1-C_6)alkyl group, such as methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, t-butyl, n-pentyl, iso-pentyl, hexyl and the like; cyclo(C_3-C_6)alkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like, the cycloalkyl group may be substituted; cyclo(C_3-C_6)alkoxy group such as cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy and the like, the cycloalkoxy group may be substituted; aryl group such as phenyl or naphthyl, the aryl group may be substituted; aralkyl such as benzyl or phenethyl, $C_6H_5CH_2CH_2CH_2$, naphthylmethyl and the like, the aralkyl group may be substituted and the substituted aralkyl is a group such as $CH_3C_6H_4CH_2$, Hal-$C_6H_4CH_2$, $CH_3OC_6H_4CH_2$, $CH_3OC_6H_4CH_2CH_2$ and the like; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, tetrazolyl, benzopyranyl, benzofuryl and the like, the heteroaryl group may be substituted; heterocyclyl groups such as aziridinyl, pyrrolidinyl, morpholinyl, piperidinyl, piperazinyl and the like, the heterocyclyl group may be substituted; aralkoxy group such as benzyloxy, phenethyloxy, naphthyl-methyloxy, phenylpropyloxy and the like, the aralkoxy group may be substituted; hetero-aralkyl group such as furanmethyl, pyridinemethyl, oxazolemethyl, oxazolethyl and the like, the heteroaralkyl group may be substituted; aralkylamino group such as $C_6H_5CH_2NH$, $C_6H_5CH_2CH_2NH$, $C_6H_5CH_2NCH_3$ and the like, which may be substituted; alkoxycarbonyl such as methoxycarbonyl or ethoxycarbonyl which may be substituted; aryloxycarbonyl group such as or unsubstituted or substituted phenoxycarbonyl, naphthyloxycarbonyl and the like; aralkoxycarbonyl group such as benzyloxycarbonyl, phenethyloxycarbonyl, naphthyl-methoxycarbonyl and the like, which may be substituted; monoalkylamino group such as

$NHCH_3$, NHC_2H_5, NHC_3H_7, NHC_6H_{13} and the like, which may be substituted, dialkylamino group such as $N(CH_3)_2$, $NCH_3(C_2H_5)$, and the like, which may be substituted; alkoxyalkyl group such as methoxymethyl, ethoxymethyl, methoxyethyl, ethoxyethyl and the like which may be substituted; aryloxyalkyl group such as $C_6H_5OCH_2$, $C_6H_5OCH_2CH_2$, naphthyloxymethyl and the like, which may be substituted; aralkoxyalkyl group such as $C_6H_5CH_2OCH_2$, $C_6H_5CH_2OCH_2CH_2$ and the like, which may be substituted; heteroaryloxy and heteroaralkoxy, wherein heteroaryl and heteroaralkyl moieties are as defined earlier and may be substituted; aryloxy group such as phenoxy, naphthyloxy, the aryloxy group may be substituted; arylamino group such as HNC_6H_5, $NCH_3(C_6H_5)$, $NHC_6H_4CH_3$, NHC_6H_4-Hal and the like, which may be substituted; amino group which may be substituted; amino(C_1-C_6) alkyl which may be substituted; hydroxy(C_1-C_6)alkyl which may be substituted; (C_1-C_6) alkoxy such as methoxy, ethoxy, propyloxy, butyloxy, iso-propyloxy and the like which may be substituted; thio(C_1-C_6)alkyl which may be substituted; (C_1-C_6)alkylthio which may be substituted; acyl group such as acetyl, propanoyl or benzoyl, the acyl group may be substituted; acylamino groups such as $NHCOCH_3$, $NHCOC_2H_5$, $NHCOC_3H_7$, $NHCOC_6H_5$ which may be substituted; aralkoxycarbonylamino group such as $NHCOOCH_2C_6H_5$, $NHCOOCH_2CH_2C_6H_5$, $N(CH_3)COOCH_2C_6H_5$, $N(C_2H_5)COOCH_2C_6H_5$, $NHCOOCH_2C_6H_4CH_3$, $NHCOOCH_2C_6H_4OCH_3$ and the like, which may be substituted; aryloxycarbonylamino group such as $NHCOOC_6H_5$, $NCH_3COOC_6H_5$, $NC_2H_5COOC_6H_5$, $NHCOOC_6H_4CH_3$, $NHCOOC_6H_4OCH_3$ and the like, which may be substituted; alkoxy-carbonylamino group such as $NHCOOC_2H_5$, $NHCOOCH_3$ and the like, which may be substituted; carboxylic acid or its derivatives such as amides, like $CONH_2$, CONHMe, $CONMe_2$, CONHEt, $CONEt_2$, CONHPh and the like, the carboxylic acid derivatives may be substituted; acyloxy group such as OCOMe, OCOEt, OCOPh and the like, which may be substituted; or sulfonic acid or its derivatives such as SO_2NH_2, SO_2NHMe, SO_2NMe_2, SO_2NHCF_3 and the like, the sulfonic acid derivatives may be substituted.

When the groups represented by R^1, R^2, R^3, R^4, R^5, and R^6 are substituted, the substituents may be selected from halogen, hydroxy, nitro or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aralkoxyalkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, arylamino, aminoalkyl, aryloxy, aralkoxy, alkoxycarbonyl, alkylamino, alkoxyalkyl,

alkylthio, thioalkyl groups, carboxylic acid or its derivatives, or sulfonic acid or its derivatives. The substituents are defined as above.

It is preferred that the substituents on R^1-R^6 represent halogen atom such as fluorine, chlorine, or bromine, hydroxy; or unsubstituted or substituted halogenated alkyl groups, the alkyl group is selected from a group such as methyl, ethyl, isopropyl, n-propyl, or n-butyl; cycloalkyl group such as cyclopropyl; aryl group such as phenyl; aralkyl group such as benzyl; (C_1-C_3) alkoxy; benzyloxy, acyl or acyloxy groups.

Suitable R^{11} and the groups R^5, R^6 when attached to nitrogen atom are selected from hydrogen, hydroxy, formyl; substituted or unsubstituted (C_1-C_{12})alkyl group, especially, linear or branched (C_1-C_6)alkyl group, such as methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, t-butyl, n-pentyl, iso-pentyl, hexyl and the like; cyclo(C_3-C_6)alkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like, the cycloalkyl group may be substituted; cyclo(C_3-C_6)alkyloxy group such as cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy and the like, the cycloalkoxy group may be substituted; aryl group such as phenyl or naphthyl, the aryl group may be substituted; aralkyl such as benzyl or phenethyl, $C_6H_5CH_2CH_2CH_2$, naphthylmethyl and the like, the aralkyl group may be substituted and the substituted aralkyl is a group such as $CH_3C_6H_4CH_2$, Hal-$C_6H_4CH_2$, $CH_3OC_6H_4CH_2$, $CH_3OC_6H_4CH_2CH_2$ and the like; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, tetrazolyl, benzopyranyl, benzofuryl and the like, the heteroaryl group may be substituted; heterocyclyl groups such as aziridinyl, pyrrolidinyl, morpholinyl, piperidinyl, piperazinyl and the like, the heterocyclyl group may be substituted; aralkoxy group such as benzyloxy, phenethyloxy, naphthylmethyloxy, phenylpropyloxy and the like, the aralkoxy group may be substituted; heteroaralkyl group such as furanmethyl, pyridinemethyl, oxazolemethyl, oxazolethyl and the like, the heteroaralkyl group may be substituted; aralkylamino group such as $C_6H_5CH_2NH$, $C_6H_5CH_2CH_2NH$, $C_6H_5CH_2NCH_3$ and the like, which may be substituted; alkoxycarbonyl such as methoxycarbonyl or ethoxycarbony which may be substituted; aryloxycarbonyl group such as or unsubstituted or substituted phenoxycarbonyl, naphthyloxycarbonyl and the like; aralkoxycarbonyl group such as benzyloxycarbonyl, phenethyloxycarbonyl, naphthylmethoxycarbonyl and the like, which may be substituted; monoalkylamino group such as $NHCH_3$, NHC_2H_5, NHC_3H_7, NHC_6H_{13} and the like, which may be substituted; dialkylamino group such as $N(CH_3)_2$, $NCH_3(C_2H_5)$, and the like, which may be substituted; alkoxyalkyl

group such as methoxymethyl, ethoxymethyl, methoxyethyl, ethoxyethyl and the like, which may be substituted; aryloxyalkyl group such as $C_6H_5OCH_2$, $C_6H_5OCH_2CH_2$, naphthyl-oxymethyl and the like, which may be substituted; aralkoxyalkyl group such as $C_6H_5CH_2OCH_2$, $C_6H_5CH_2OCH_2CH_2$ and the like, which may be substituted; heteroaryloxy and heteroaralkoxy, wherein heteroaryl and heteroaralkyl moieties are as defined earlier and may be substituted; aryloxy group such as phenoxy, naphthyloxy, the aryloxy group may be substituted; arylamino group such as NHC_6H_5, $NCH_3(C_6H_5)$, $NHC_6H_4CH_3$, NHC_6H_4-Hal and the like, which may be substituted; amino group which may be substituted; amino(C_1-C_6) alkyl which may be substituted; hydroxy(C_1-C_6)alkyl which may be substituted; (C_1-C_6) alkoxy such as methoxy, ethoxy, propyloxy, butyloxy, iso-propyloxy and the like which may be substituted; thio(C_1-C_6)alkyl which may be substituted; (C_1-C_6)alkylthio which may be substituted; acyl group such as acetyl, propanoyl or benzoyl, the acyl group may be substituted; acylamino groups such as $NHCOCH_3$, $NHCOC_2H_5$, $NHCOC_3H_7$, $NHCOC_6H_5$ which may be substituted; carboxylic acid derivatives such as amides, like $CONH_2$, CONHMe, $CONMe_2$, CONHEt, $CONEt_2$, CONHPh and the like, the carboxylic acid derivatives may be substituted; acyloxy group such as OCOMe, OCOEt, OCOPh and the like which may be unsubstituted or substituted; sulfonic acid derivatives such as SO_2NH_2, SO_2NHMe, SO_2NMe_2, SO_2NHCF_3 and the like, the sulfonic acid derivatives may be substituted.

When the groups represented by R^{11} and the groups R^5, R^6 attached to nitrogen are substituted, preferred substituents may be selected from halogen such as fluorine, chlorine; hydroxy, acyl, acyloxy, or amino groups.

When the groups represented by R^{11} and the groups R^5, R^6 are attached to nitrogen atom, R^1-R^4 are same as defined earlier.

The group represented by Ar includes substituted or unsubstituted groups selected from divalent phenylene, naphthylene, pyridyl, quinolinyl, benzofuryl, benzoxazolyl, benzo-thiazolyl, indolyl, indolinyl, azaindolyl, azaindolinyl, indenyl, dihydrobenzofuryl, benzo-pyranyl, dihydrobenzopyranyl, pyrazolyl and the like. The substituents on the group represented by Ar include linear or branched or unsubstituted or substituted halogenated (C_1-C_6)alkyl, or unsubstituted or substituted halogenated (C_1-C_3)alkoxy, halogen, acyl, amino, acylamino, thio, carboxylic and sulfonic acids and their derivatives. The substituents are defined as they are for R^1-R^4.

It is more preferred that Ar represents a substituted or unsubstituted divalent, phenylene, naphthylene, benzofuryl, indolyl, indolinyl, quinolinyl, azaindolyl, azaindolinyl, benzothiazolyl or benzoxazolyl groups.

It is still more preferred that Ar is represented by divalent phenylene or naphthylene, which may be unsubstituted or substituted by methyl, halomethyl, methoxy or halomethoxy groups.

Suitable R^7 includes hydrogen, lower alkyl groups such as methyl, ethyl or propyl; hydroxy, (C_1-C_3)alkoxy; halogen atom such as fluorine, chlorine, bromine, or iodine; aralkyl such as benzyl, or phenethyl, which may be unsubstituted or substituted with halogen, hydroxy, (C_1-C_3)alkyl, (C_1-C_3)alkoxy, benzyloxy, acetyl, acetyloxy groups, preferably with hydroxy, halogen, (C_1-C_3)alkyl or alkoxy (C_1-C_3), or R^7 together with R^8 represent a bond.

Suitable R^8 may be hydrogen, lower alkyl groups such as methyl, ethyl or propyl; hydroxy, (C_1-C_3)alkoxy; halogen atom such as fluorine, chlorine, bromine, or iodine; acyl group such as linear or branched (C_2-C_{10})acyl group such as acetyl, propanoyl, butanoyl, pentanoyl, benzoyl and the like; aralkyl such as benzyl, phenethyl, which may be unsubstituted or substituted with halogen, hydroxy, (C_1-C_3)alkyl, (C_1-C_3)alkoxy, benzyloxy, acetyl, acetyloxy groups, preferably with hydroxy, halogen, (C_1-C_3)alkyl or (C_1-C_3) alkoxy, or R^8 together with R^7 forms a bond.

It is preferred that R^7 and R^8 represent hydrogen atom or R^7 and R^8 together represent a bond.

Suitable groups represented by R^9 may be selected from hydrogen, linear or branched (C_1-C_{16})alkyl, preferably (C_1-C_{12})alkyl group such as methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, pentyl, hexyl, octyl and the like, which may be substituted; (C_3-C_7) cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like, the cycloalkyl group may be substituted; aryl group such as phenyl, naphthyl, the aryl group may be substituted; heteroaryl group such as pyridyl, thienyl, furyl and the like, the heteroaryl group may be substituted; heteroaralkyl group such as furanmethyl, pyridinemethyl, oxazol-emethyl, oxazolethyl and the like, the heteroaralkyl group may be substituted; aralkyl group wherein the aryl group is as defined earlier and the alkyl moiety may contain C_1-C_6 atoms such as benzyl, phenethyl and the like, the aralkyl group may be substituted; heterocyclyl group such as aziridinyl, pyrrolidinyl, piperidinyl and the like, the heterocyclyl group may be substituted; (C_1-C_6)alkoxy(C_1-C_6)alkyl group such as methoxymethyl, ethoxymethyl,

methoxyethyl, ethoxypropyl and the like, the alkoxyalkyl group may be substituted; aryloxyalkyl group such as $C_6H_5OCH_2$, $C_6H_5OCH_2CH_2$, naphthyloxymethyl, naphthyloxyethyl and the like, which may be substituted; linear or branched (C_2-C_{16})acyl group such as acetyl, propanoyl, isopropanoyl, butanoyl, benzoyl, octanoyl, decanoyl and the like which may be substituted; (C_1-C_6)alkoxycarbonyl, the alkyl group may be substituted; aryloxycarbonyl such as phenoxycarbonyl, naphthyloxycarbonyl, the aryl group may be substituted; (C_1-C_6)alkylaminocarbonyl, the alkyl group may be substituted; and arylaminocarbonyl such as PhNHCO, naphthylaminocarbonyl, the aryl moiety may be substituted. The substituents may be selected from halogen, hydroxy, formyl or nitro or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aralkoxyalkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, arylamino, aminoalkyl, aryloxy, alkoxycarbonyl, alkylamino, alkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid or its derivatives, or sulfonic acid or its derivatives. These groups are as defined above.

Suitable groups represented by R^{10} may be selected from hydrogen, substituted or unsubstituted linear or branched (C_1-C_{16})alkyl, preferably (C_1-C_{12})alkyl group such as methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, pentyl, hexyl, octyl and the like; (C_3-C_7)cycloalkyl such as cyclopropyl, cyclopentyl, cyclohexyl and the like, the cycloalkyl group may be substituted; aryl group such as phenyl, naphthyl, the aryl group may be substituted; heteroaryl group such as pyridyl, thienyl, furyl and the like, the heteroaryl group may be substituted; heteroaralkyl group such as furanmethyl, pyridinemethyl, oxazolemethyl, oxazolethyl and the like, the heteroaralkyl group may be substituted; aralkyl group such as benzyl and phenethyl, the aralkyl group may be substituted; heterocyclyl group such as aziridinyl, pyrrolidinyl, piperidinyl and the like, the heterocyclyl group may be substituted. The substituents on R^{10} may be selected from the same group of R^1-R^4 and are as defined above.

Suitable groups represented by R^{12} may be selected from hydrogen, substituted or unsubstituted linear or branched (C_1-C_{16})alkyl, preferably (C_1-C_{12})alkyl; hydroxy (C_1-C_6) alkyl which may be substituted; aryl group such as phenyl, naphthyl and the like, which may be substituted; aralkyl group such as benzyl and phenethyl and the like, which may be substituted; heterocyclyl group such as aziridinyl, pyrrolidinyl, piperidinyl, and the like which may be substituted; heteroaryl group such as pyridyl, thienyl, furyl and the like, which

may be substituted; and heteroaralkyl group such as furanmethyl, pyridinemethyl, oxazolemethyl, oxazolethyl and the like, which may be substituted.

The cyclic struture formed by R^{10} and R^{12} may be a substituted or unsubstituted 5 or 6 membered cyclic structure containing carbon atoms which may be unsubstituted or substituted contain one or two heteroatoms selected from oxygen, nitrogen on sulfur.

Suitable ring structures formed by R^{10} and R^{12} together may be selected from pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, oxazolinyl, diazolinyl and the like.

Suitable substituents on the cyclic structure formed by R^{10} and R^{12} taken together may be selected from halogen, hydroxy, alkyl, oxo, aralkyl and the like.

Suitable n is an integer ranging from 1 to 4, preferably n represents an integer 1 or 2.

Pharmaceutically acceptable salts forming part of this invention include salts of the carboxylic acid moiety such as alkali metal salts like Li, Na, and K salts; alkaline earth metal salts like Ca and Mg salts; salts of organic bases such as lysine, arginine, guanidine, diethanolamine, choline, tromethamine and the like; ammonium or substituted ammonium salts, and aluminum salts. Salts may include acid addition salts where appropriate which are, sulphates, nitrates, phosphates, perchlorates, borates, hydrohalides, acetates, tartrates, maleates, citrates, succinates, palmoates, methanesulphonates, benzoates, salicylates, hydroxynaphthoates, benzenesulfonates, ascorbates, glycerophosphates, ketoglutarates and the like. Pharmaceutically acceptable solvates may be hydrates or comprising other solvents of crystallization such as alcohols.

Particularly useful compounds according to the present invention include:

Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl]-2-propenoate;

(±)-Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl] propanoate;

(+)-Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] propanoate;

(-)-Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] propanoate;

(±)-2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro 1,3 benzoxazin-3-yl]ethoxy]phenyl] propanoic acid and its salts;

[2R, N(1S)] 2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

[2S, N(1S)] 2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

(+)-2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl] propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] propanoic acid and its salts;

(±)-Ethyl 2-phenoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] -2-propenoate;

(±)-Ethyl 2-ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoate;

(+)-Ethyl 2-ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoate;

(-)-Ethyl 2-ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoic acid and salts;

(-)-2-Ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl] methoxy] phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl] propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl] propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl] propanoic acid;

(+)-2-Ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl] propanoic acid;

(-)-2-Ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoic acid;

(±)-Methyl 2-ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl] propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl] propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(±)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl] methoxy]phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl] methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl]propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl]propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoic acid and its salts;

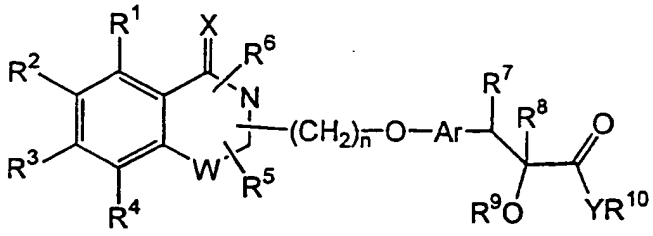
(±)-Methyl 2-ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

CLAIMS

1. A compound of formula (I)



(I)

its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts, or its pharmaceutically acceptable solvates, wherein X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, amino, alkylamino which may be mono or dialkyl amino group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or di alkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents or unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group or forms a bond with R^8; R^8 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, acyl group or unsubstituted or substituted aralkyl, or R^8 forms a bond together with R^7; R^9 represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl,

arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, heteroaralkyl groups; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; Y represents oxygen or NR^{12}, where R^{12} represents hydrogen, or unsubstituted or substituted alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} and R^{12} together may form a substituted or unsubstituted 5 or 6 membered cyclic structure containing carbon atoms, which may or unsubstituted or substituted contain one or more heteroatoms selected from oxygen, sulfur or nitrogen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom.

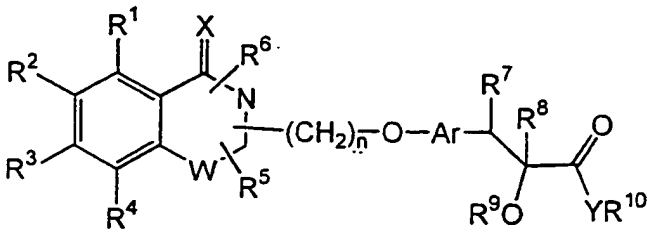
2. A compound of the formula (I) according to claim 1, wherein the groups represented by R^1-R^4 and the groups R^5 and R^6 when attached to carbon atom are substituted, the substituents are selected from halogen, hydroxy, or nitro or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aralkoxyalkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, arylamino, aminoalkyl, aryloxy, aralkoxy, alkoxycarbonyl, alkylamino, alkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid or its derivatives, or sulfonic acid or its derivatives.

3. A compound of the formula (I) according to claims 1 or 2, wherein the groups $R^5$and R^6 when attached to nitrogen are substituted, substituents are selected from halogen such as fluorine, chlorine; hydroxy, acyl, acyloxy, or amino groups.

4. A compound of the formula (I) according to claim 1, 2 or 3, wherein the group Ar includes substituted or unsubstituted groups selected from divalent phenylene, naphthylene, pyridyl, quinolinyl, benzofuryl, benzoxazolyl, benzothiazolyl, indolyl, indolinyl, azaindolyl, azaindolinyl, indenyl, dihydrobenzofuryl, benzopyranyl, dihydrobenzopyranyl, or pyrazolyl.

5. A compound of the formula (I) according to claim 1, 2, 3 or 4, wherein the substituents on the group represented by R^9 are selected from halogen, hydroxy, formyl or nitro or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aralkoxyalkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, arylamino, aminoalkyl, aryloxy, alkoxycarbonyl, alkylamino, alkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid or its derivatives, or sulfonic acid or its derivatives .

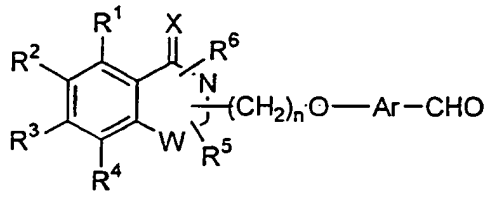
6. A process for the preparation of compound of formula (I)



(I)

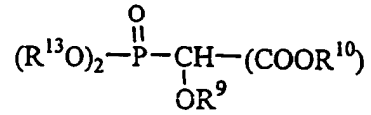
its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts, or its pharmaceutically acceptable solvates, where X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxy-carbonyl, amino, alkylamino which may be mono or dialkylamino group, arylamino, acyl-amino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be the same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, hetero-cyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or di alkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 together with R^8 forms a bond; R^9 represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; Y represents oxygen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom, which comprises:

a) reacting a compound of formula (IIIa)



(IIIa)

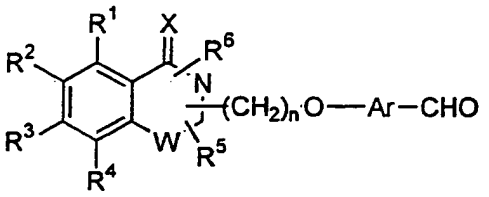
where all symbols are as defined above with a compound of formula (IIIb)



(IIIb)

where R^9 and R^{10} are as defined above excluding hydrogen and R^{13} represents $(C_1\text{-}C_6)$alkyl, to yield compound of formula (I) defined above;

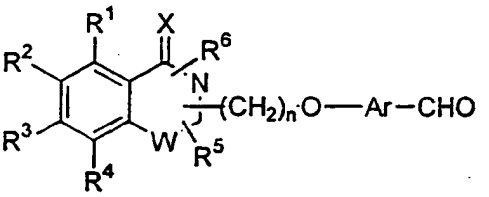
b) reacting the compound of formula (IIIa)



(IIIa)

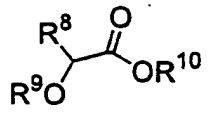
where all symbols are as defined earlier with Wittig reagents;

c) reacting a compound of formula (IIIa)



(IIIa)

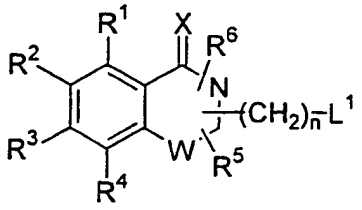
where all other symbols are as defined above with a compound of formula (IIIc)



(IIIc)

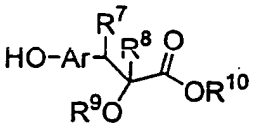
where R^8 is hydrogen and all other symbols are as defined above to yield a compound of formula (I) as defined above after dehydration;

d) reacting a compound of formula (IIIe)



(IIIe)

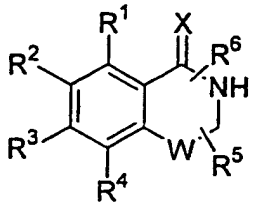
where all symbols are as defined earlier and L^1 represents a leaving group, with a compound of formula (IIId)



(IIId)

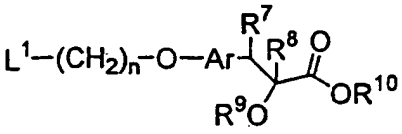
where R^7 and R^8 together represent a bond and all other symbols are as defined above to produce a compound of the formula (I) where all symbols are as defined above;

e) reacting a compound of formula (IIIf)



(IIIf)

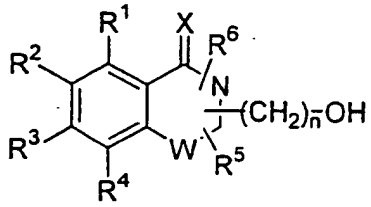
where all symbols are as defined above with a compound of formula (IIIg)



(IIIg)

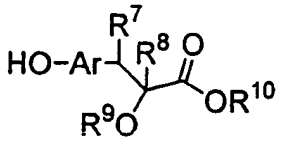
where R^7 and R^8 together represent a bond, L^1 is a leaving group to produce a compound of formula (I) defined above where the linker group $-(CH_2)_n$-O- is attached to nitrogen atom;

f) reacting a compound of formula (IIIh)



(IIIh)

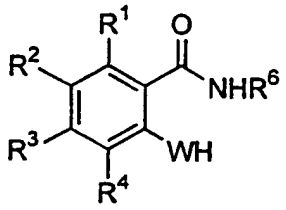
where all symbols are as defined above with a compound of formula (IIId)



(IIId)

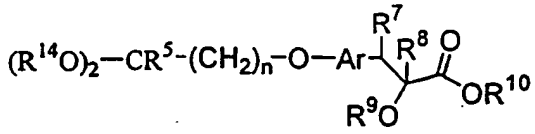
where R^7 and R^8 together represent a bond and all other symbols are as defined above to produce a compound of formula (I) where all symbols are as defined above;

g) reacting a compound of formula (IIIi)



(IIIi)

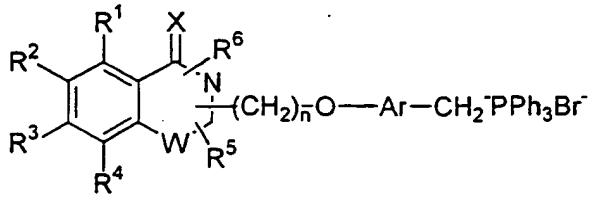
where all symbols are as defined above with a compound of formula (IIIj)



(IIIj)

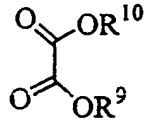
where R^7 and R^8 together represent a bond, R^{14} represents lower alkyl group and all other symbols are as defined above, to produce a compound of formula (I) defined above, where the linker group $-(CH_2)_n$-O- is attached to carbon atom;

h) reacting a compound of formula (IIIk)



(IIIk)

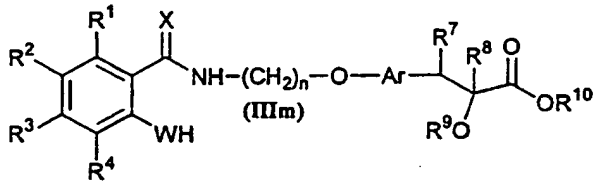
where all symbols are as defined above with a compound of formula (IIII)



(IIII)

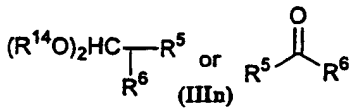
where $R^9 = R^{10}$ and are as defined above excluding hydrogen to produce a compound of the formula (I);

(i) reacting a compound of formula (IIIm) where R^7, R^8 together represent a bond and all other symbols are as defined above



(IIIm)

with a compound of formula (IIIn)

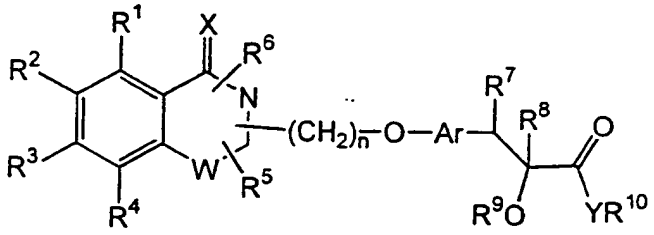


(IIIn)

where R^{14} represents lower alkyl group and all other symbols are as defined above, to produce a compound of formula (I) defined above, where the linker group $-(CH_2)_n$-O- is attached to nitrogen atom; and

j) optionally converting the compounds of formula (I) obtained in any of the processes described above into pharmaceutically acceptable salts or pharmaceutically acceptable solvates.

7. A process for the preparation of compound of formula (I)

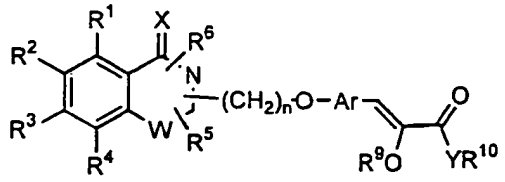


(I)

its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts, or its pharmaceutically acceptable solvates, wherein X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, amino, alkylamino which may be amino or dialkyl group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be same or different and represent hydrogen, hydroxy, formyl or or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or dialkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group; R^8 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, acyl group or unsubstituted or substituted aralkyl; R^9 represents hydrogen or or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} represents hydrogen or or unsubstituted

or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; Y represents oxygen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom, which comprises:

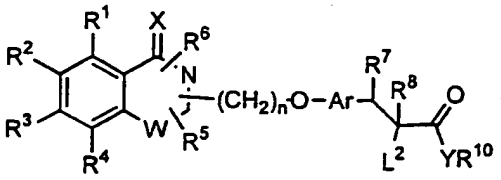
a) reducing a compound of formula (IVa)



(IVa)

which represents a compound of formula (I) where R^7 and R^8 together represent a bond and Y represents an oxygen atom and all other symbols are as defined above, to yield a compound of the formula (I) where R^7 and R^8 each represent hydrogen atom and all symbols are as defined above;

b) reacting a compound of formula (IVb)



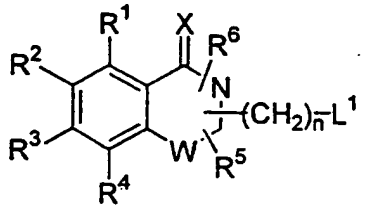
(IVb)

where all symbols are as defined above and L^2 is a leaving group with a compound of formula (IVc),

$$R^9—OH \qquad \text{(IVc)}$$

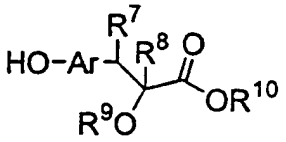
where R^9 represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups defined above;

c) reacting a compound of formula (IIIe)



(IIIe)

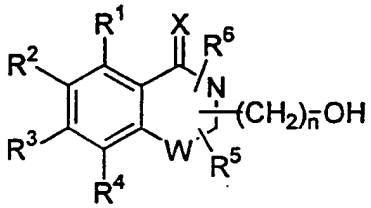
where all symbols are as defined above and L^1 is a leaving group with a compound of formula (IIId)



(IIId)

where all symbols are as defined above to produce a compound of the formula (I) defined above;

d) reacting a compound of formula (IIIh)



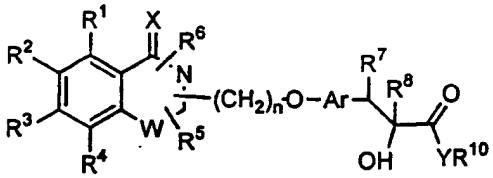
(IIIh)

where all symbols are as defined above with a compound of formula (IIId)

(IIId)

where all symbols are as defined above to produce a compound of formula (I) defined above;

e) reacting a compound of formula (IVd)



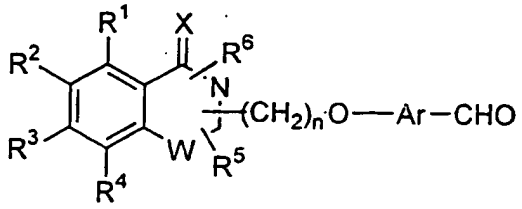
(IVd)

which represents a compound of formula (I) where R^9 represents a hydrogen atom and all other symbols are as defined above with a compound of formula (IVe)

$$R^9—L^2 \qquad \text{(IVe)}$$

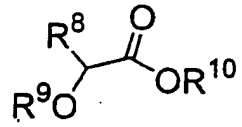
where R^9 represents or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups and L^2 is a halogen atom to produce a compound of formula (I) defined above;

f) reacting a compound of the formula (IIIa)



(IIIa)

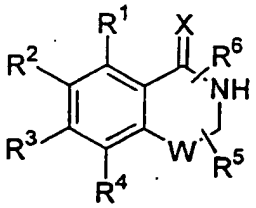
where all symbols are as defined above with a compound of formula (IIIc)



(IIIc)

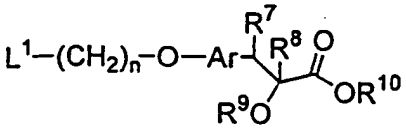
where R^8 is hydrogen, and all other symbols are as defined above to produce a compound of formula (I) after dehydroxylation;

g) reacting a compound of formula (IIIf)



(IIIf)

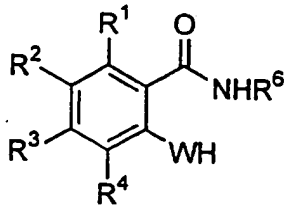
where all symbols are as defined above with a compound of formula (IIIg)



(IIIg)

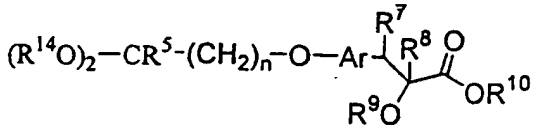
where L^1 is a leaving group, and all other symbols are as defined above to produce a compound of formula (I) defined above, where the linker group $-(CH_2)_n-O-$ is attached to nitrogen atom;

h) reacting a compound of formula (IIIi)



(IIIi)

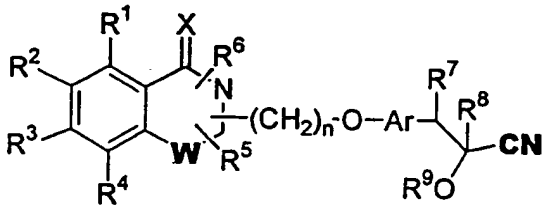
where all symbols are as defined above with a compound of general formula (IIIj)



(IIIj)

where R^{14} represents a lower alkyl group, and all other symbols are as defined above, to produce a compound of formula (I) defined above, where the linker group $-(CH_2)_n-O-$ is attached to carbon atom;

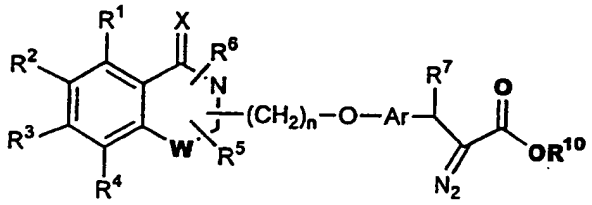
i) converting a compound of formula (IVf)



(IVf)

where all symbols are as defined above to a compound of formula (I) defined above;

j) reacting a compound of formula (IVg)



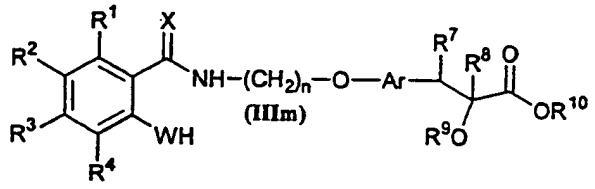
(IVg)

where all symbols are as defined above with a compound of formula (IVc)

$$R^9-OH \qquad \text{(IVc)}$$

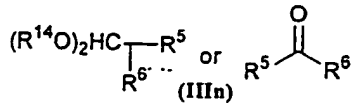
where R^9 is as defined above to produce a compound of formula (I), optionally;

(k) reacting a compound of formula (IIIm) where all symbols are as defined above



(IIIm)

with a compound of formula (IIIn)



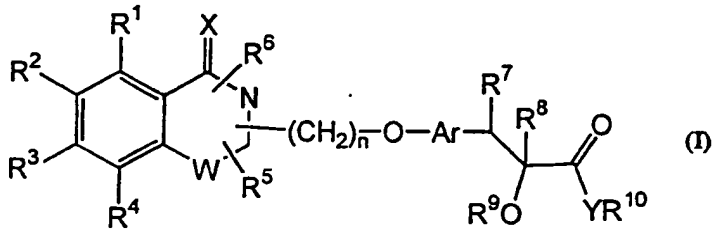
(IIIn)

where R^{14} represents lower alkyl group and all other symbols are as defined above, to produce a compound of formula (I) defined above, where the linker group $-(CH_2)_n$-O- is attached to nitrogen atom;

l) resolving the compound of formula (I) obtained in any of the processes described above into its stereoisomers, and optionally;

m) converting the compounds of formula (I) or its stereoisomers obtained in any of the processes described above into pharmaceutically acceptable salts or pharmaceutically acceptable solvates.

8. A process for the preparation of compound of formula (I)

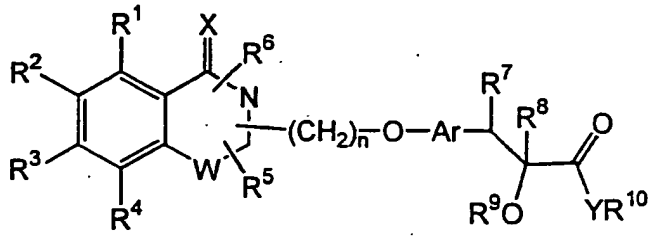


(I)

its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts, or its pharmaceutically acceptable solvates, wherein X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkyloxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxy-carbonyl, amino, alkylamino which may be mono or dialkylamino group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxy-alkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxy-carbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen

atom may be same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or dialkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group or forms a bond with R^8; R^8 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, acyl group or unsubstituted or substituted aralkyl, or R^8 forms a bond together with R^7; R^9 represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; Y represents NR^{12}, where R^{12} represents hydrogen or unsubstituted or substituted, alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} and R^{12} together may form a substituted or unsubstituted 5 or 6 membered cyclic structure containing carbon atoms, which may or unsubstituted or substituted contain one or more heteroatoms selected from oxygen, sulfur or nitrogen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom, which comprises :

a) reacting a compound of formula (I)



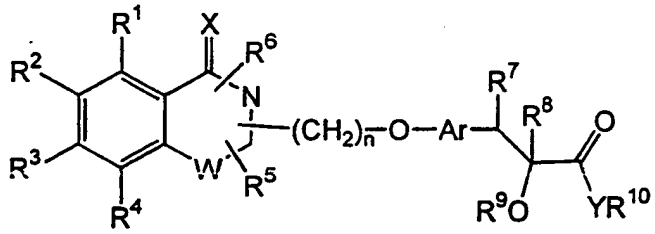
(I)

where all symbols are as defined above and Y represents oxygen, and R^{10} represents hydrogen or a lower alkyl group or YR^{10} represents a halogen atom, or $COYR^{10}$ represents a mixed anhydride group with appropriate amines of the formula $NHR^{10}R^{12}$, where R^{10} and R^{12} are as defined earlier and, optionally;

b) resolving the compound of formula (I) obtained above into stereoisomers, and optionally;

c) converting the compounds of formula (I) obtained above into pharmaceutically acceptable salts or pharmaceutically acceptable solvates.

9. A compound of formula (I)

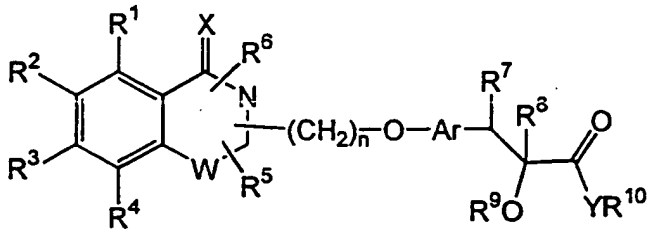


(I)

its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, or its pharmaceutically acceptable salts, its pharmaceutically acceptable solvates, where X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, amino, alkylamino which may be mono or dialkylamino group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5, R^6 when attached to nitrogen atom may be same or different and represent hydrogen, hydroxy, formyl or or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or dialkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 together with R^8 forms a bond; R^9 represents hydrogen or or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl,

arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; Y represents oxygen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom, prepared according to the process of claim 6.

10. A compound of formula (I)

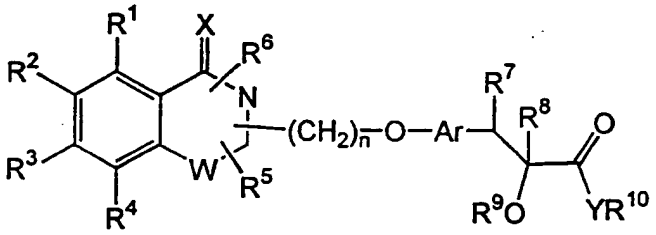


(I)

its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts, or its pharmaceutically acceptable solvates, wherein X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups $R^5$and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, amino, alkylamino which may be mono or dialkylamino group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be the same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or dialkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group; R^8 represents hydrogen atom,

hydroxy, alkoxy, halogen, lower alkyl, acyl group or unsubstituted or substituted aralkyl; R^9 represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; Y represents oxygen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom, prepared according to the process of claim 7.

11. A compound of formula (I)

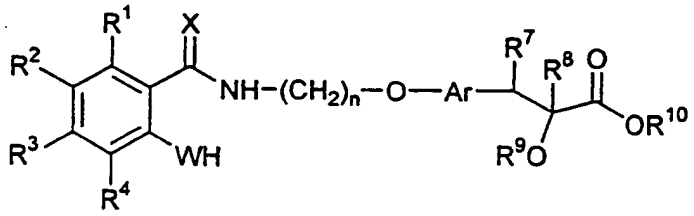


(I)

its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts, or its pharmaceutically acceptable solvates, wherein X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, hetero-aralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, amino, alkylamino which may be mono or dialkylamino, arylamino, acylamino, aralkyl-amino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be the same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, hetero-aryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or dialkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n

is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group or forms a bond with R^8; R^8 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, acyl group or unsubstituted or substituted aralkyl, or R^8 forms a bond together with R^7; R^9 represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; Y represents NR^{12}, where R^{12} represents hydrogen or unsubstituted or substituted groups selected from, alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} and R^{12} together may form a substituted or unsubstituted 5 or 6 membered cyclic structure containing carbon atoms, which may or unsubstituted or substituted contain one or more heteroatoms selected from oxygen, sulfur or nitrogen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom, prepared according to the process of claim 8.

12. An intermediate of formula (IIIm)

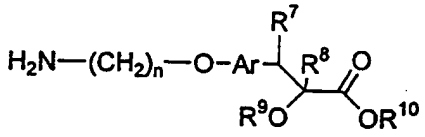


(IIIm)

where, X represents O or S; the groups R^1, R^2, R^3 and R^4 may be same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkyloxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, amino, alkylamino which may be mono or dialkylamino group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxy-alkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O or S ; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7

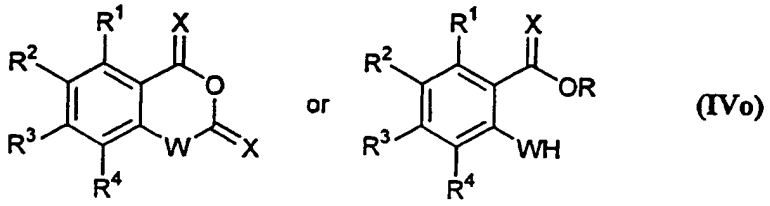
represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group or forms a bond with R^8; R^8 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, acyl group or unsubstituted or substituted aralkyl, or R^8 forms a bond together with R^7; R^9 represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; and the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom.

13. A process for the preparation of compound of formula (IIIm) as defined in claim 12, which comprises reacting a compound of formula (IVn)



(IVn)

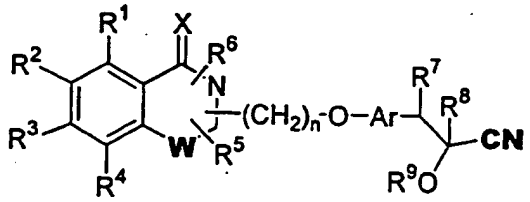
where all symbols are as defined earlier with a compound of formula (IVo)



(IVo)

where all symbols are as defined earlier.

14. An intermediate of formula (IVf)



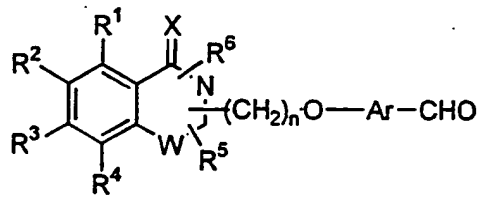
(IVf)

where X represents O or S; the groups R^1, R^2, R^3, ^{4} and the groups R^5 and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxy-

carbonyl, amino, alkylamino which may be mono or dialkylamino group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be the same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or di alkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group or forms a bond with R^8; R^8 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, acyl group or unsubstituted or substituted aralkyl or R^8 forms a bond together with R^7; R^9 represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; and the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom.

15. A process for the preparation of compound of formula (IVf) defined in claim 14, where R^7 and R^8 represent hydrogen atoms and all other symbols are as defined in claim 14 which comprises :

a) reacting a compound of formula (IIIa)

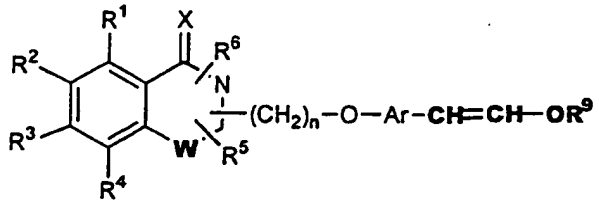


(IIIa)

where all symbols are as defined above with a compound of formula (IVi)

(IVi)

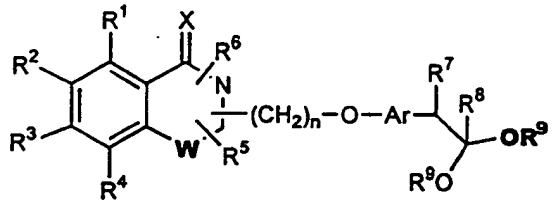
where R^9 represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups and Hal represents a halogen atom, to yield a compound of formula (IVj)



(IVj

where all symbols are as defined above,

b) reacting the a compound of formula (IVj) with a compound of formula R^9OH where R^9 is as defined in part a to yield a compound of formula (IVk),

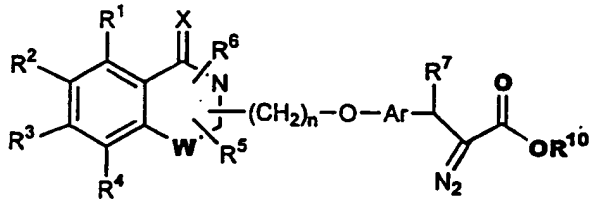


(IVk

where are all symbols are as defined above, and

c) reacting a compound of formula (IVj) obtained above where all symbols are as defined above with trialkylsilyl cyanide to produce a compound of formula (IVf) where all symbols are as defined above.

16. An intermediate of formula (IVg)

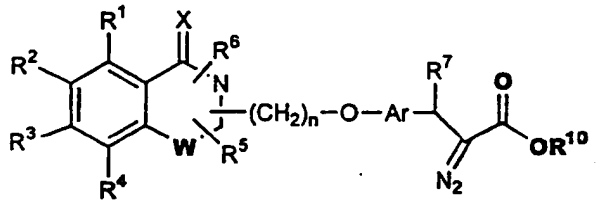


(IVg)

where X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxy-carbonyl, aralkoxycarbonyl, amino, alkylamino which may be mono or dialkylamino group,

arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or dialkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; and the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom.

17. A process for the preparation of compound of formula (IVg)

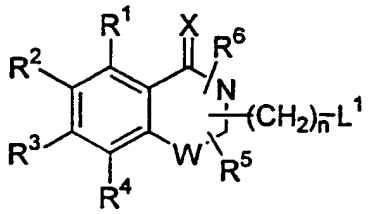


(IVg)

where X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, amino, alkylamino which may be mono or dialkylamino group, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W

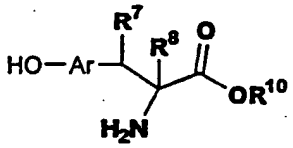
represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, alkylamino which may be mono or dialkylamino group, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group; R^{10} represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; and the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom, which comprises:

a) reacting a compound of formula (IIIe)



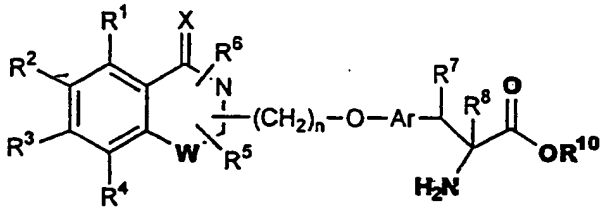
(IIIe)

where L^1 is a leaving group and all other symbols are as defined in claim 14 with a compound of formula (IVm)



(IVm

where R^8 is a hydrogen atom and all other symbols are as defined in claim 14, to yield a compound of formula (IVl)



(IVl)

where R^8 is a hydrogen atom and all other symbols are as defined above, and

b) reacting the compound of formula (IVl) obtained above with an appropriate diazotizing agent.

18. A compound according to claim 1 which is selected from :

Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl]-2-propenoate;

(±)-Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl] propanoate;

(+)-Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] propanoate;

(-)-Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] propanoate;

(±)-2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro 1,3 benzoxazin-3-yl]ethoxy]phenyl] propanoic acid and its salts;

[2R, N(1S)] 2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

[2S, N(1S)] 2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

(+)-2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl] propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl] propanoic acid and its salts;

(±)-Ethyl 2-phenoxy-3-[4-[2-[4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] -2-propenoate;

(±)-Ethyl 2-ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoate;

(+)-Ethyl 2-ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoate;

(-)-Ethyl 2-ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoic acid and salts;

(-)-2-Ethoxy-3-[4-[2-[2,2-dimethyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl] propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy]phenyl] propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy]phenyl] propanoate;

(±)-2-Ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy]phenyl] propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy]phenyl] propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[[4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy]phenyl] propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoic acid;

(+)-2-Ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoic acid;

(-)-2-Ethoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoic acid;

(±)-Methyl 2-ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl] propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl] propanoate;

(±)-2-Ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(±)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl] methoxy]phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl] methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl] methoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl] propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-1,3-benzoxazin-2-yl]methoxy] phenyl] propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[[3-ethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoic acid and its salts;

(±)-Methyl 2-ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(+)-Methyl 2-ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(-)-Methyl 2-ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and salts;

(+)-2-Ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and salts;

(-)-2-Ethoxy-3-[4-[[1,3-dimethyl-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and salts;

(±)-Methyl 2-phenoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoate;

(+)-Methyl 2-phenoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoate;

(-)-Methyl 2-phenoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy] phenyl]propanoate;

(±)-2-Phenoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoic acid and its salts;

(+)-2-Phenoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoic acid and its salts;

(-)-2-Phenoxy-3-[4-[[4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl]methoxy]phenyl] propanoic acid and its salts;

(±)-Methyl 2-phenoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(+)-Methyl 2-phenoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] henyl]propanoate;

(-)-Methyl 2-phenoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy]phenyl]propanoate;

(±)-2-Phenoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(+)-2-Phenoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(-)-2-Phenoxy-3-[4-[[6-chloro-4-oxo-1,2,3,4-tetrahydro-2-quinazolinyl] methoxy] phenyl]propanoic acid and its salts;

(±)-Ethyl 2-ethoxy-3-[4-[2-[6-nitro-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl] ethoxy] phenyl]propanoate;

(+)-Ethyl 2-ethoxy-3-[4-[2-[6-nitro-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoate;

(-)-Ethyl 2-ethoxy-3-[4-[2-[6-nitro-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[2-[6-nitro-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[2-[6-nitro-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] propanoic acid and its salts;

(-)-2-Ethoxy-3-[4-[2-[6-nitro-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy]phenyl] propanoic acid and its salts;

(±)-Ethyl 2-ethoxy-3-[4-[2-[6-acetyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoate;

(+)-Ethyl 2-ethoxy-3-[4-[2-[6-acetyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoate;

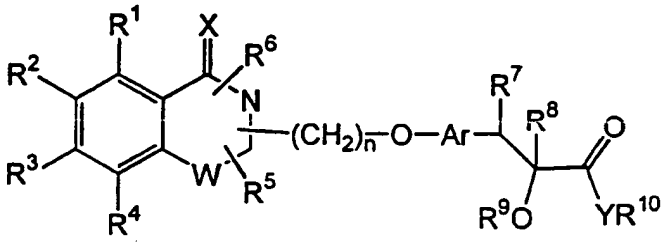
(-)-Ethyl 2-ethoxy-3-[4-[2-[6-acetyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[2-[6-acetyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoic acid and its salts;

(+)-2-Ethoxy-3-[4-[2-[6-acetyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoic acid and its salts; and

(-)-2-Ethoxy-3-[4-[2-[6-acetyl-4-oxo-3,4-dihydro-1,3-benzoxazin-3-yl]ethoxy] phenyl]propanoic acid and its salts;

19. A pharmaceutical composition which comprises a compound of formula (I)



(I)

as defined in any one of claims 1-5, 9-11 or 18 and a pharmaceutically acceptable carrier, diluent, excipient or solvate.

20. A pharmaceutical composition as claimed in claim 19, in the form of a tablet, capsule, powder, syrup, solution or suspension.

21. A method of preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering an effective amount compound of formula (I) as defined in any one of claims 1-5, 9-11 or 18 or a pharmaceutical composition as claimed in claims 19 and 20 to a patient in need thereof.

22. A method according to claim 21, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

23. A method according to claim 22, for the treatment prophylaxis of disorders related to Syndrome X, which comprises administering an agonist of PPARα, PPARγ or a mixture thereof of formula (I).

24. A method of reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL or free fatty acids in the plasma comprising administering a compound of formula (I) as defined in any one of claims1-5, 9-11 or 18 or a pharmaceutical composition as claimed in claims 19 and 20 to a patient in need thereof

25. A method of preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering a compound of formula (I) as defined in any one of claims 1-5, 9-11, or 18 or a pharmaceutical composition as claimed in claims 19 and 20 in combination/ concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergestically together to a patient in need thereof.

26. A method according to claim 25, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

27. A method according to claim 26 for the treatment or prevention of disorders related to Syndrome X, which comprises administering a compound of formula (I) in combination with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergestically together.

28. A method of reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL or free fatty acids in the plasma , which comprises administering a compound of formula (I) claimed in in any one of claims 1-5, 9-11 or 18 or a pharmaceutical composition as claimed

in claims 19 and 20 in combination/concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergestically together.

29. Use of a compound according to any one of claim 1-5, 9-11 or 18 for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism.

30. Use according to claim 29, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

31. Use of a compound according to any one of claims 1-5, 9-11 or 18 for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL or free fatty acids in the plasma.

32. Use of a compound according to any one of claim 1-5, 9-11 or 18 for preparing a medicament for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism.

33. Use according to claim 32, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

34. Use of a compound according to any one of claims 1-5, 9-11 or 18 for preparing a

medicament for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL or free fatty acids in the plasma.

35. A medicine for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering an effective amount compound of formula (I) as defined in any one of claims 1-5, 9-11 or 18 to a patient in need thereof.

36. A medicine according to claim 35, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

37. A method according to claim 27, for the treatment or prophylaxis of disorders related to Syndrome X, which comprises administering an agonist of PPARα, agonist of PPARγ or a mixture thereof of formula (I).

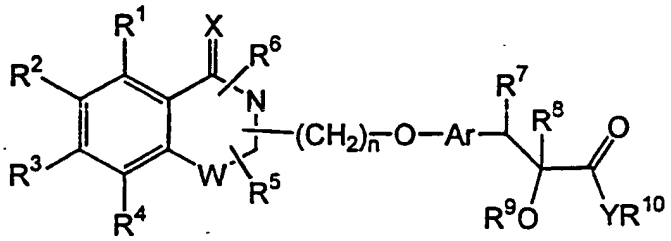
38. A medicine for reducing plasma glucose, triglycerides, totalcholesterol, LDL, VLDL and free fatty acids in the plasma comprising administering a compound of formula (I) as defined in any one of claims 1-5, 9-11 or 18 to a patient in need thereof.

39. A medicine for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering a compound of formula (I) as defined in any one of claims 1-5, 9-11, or 18 in combination/concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergestically together to a patient in need thereof.

40. A medicine according to claim 39, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular

disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

41. A process for the preparation of compound of formula (I)



(I)

its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts, or its pharmaceutically acceptable solvates, wherein X represents O or S; the groups R^1, R^2, R^3, R^4 and the groups R^5 and R^6 when attached to carbon atom may be the same or different and represent hydrogen, halogen, hydroxy, cyano, nitro, formyl; or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, amino, monoalkylamino, dialkylamino, arylamino, acylamino, aralkylamino, aminoalkyl, hydroxyalkyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, thioalkyl, alkylthio, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; W represents O, S or a group NR^{11}; R^{11} and the groups R^5 and R^6 when attached to nitrogen atom may be the same or different and represent hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aryloxy, aralkoxy, heterocyclyl, heteroaryl, heteroaryloxy, heteroaralkyl, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, carboxylic acid derivatives, or sulfonic acid derivatives; n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent aromatic or heterocyclic group; R^7 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted

or substituted aralkyl group; R^8 represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, acyl or unsubstiuted or substituted aralkyl; R^9 represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, aryloxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R^{10} represents hydrogen; Y represents oxygen; the linking group represented by $-(CH_2)_n-O-$ may be attached either through nitrogen atom or carbon atom, which comprises: hydrolising a compound of formula (1) described in any of the claims 6 and 7 where R^{10} represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups and all other symbols are as defined earlier by conventional methods.

42. Use of a compound of formula (I) as defined in any one of claims 1-5, 9-11, or 18 or a pharmaceutical composition as claimed in claim 19 or 20 in combination with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism.

43. Use according to claim 42, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

44. Use of a compound as defined in any one of claims 1-5, 9-11, or 18 or a pharmaceutical composition as claimed in claim 19 or 20 in combination with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma.

45. Use of a compound of formula (I) as defined in any one of claims 1-5, 9-11, or 18 or a pharmaceutical composition as claimed in claim 19 or 20 for preparing a medicament in

combination with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism.

46. Use according to claim 45, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

47. Use of a compound as defined in any one of claims 1-5, 9-11, or 18 or a pharmaceutical composition as claimed in claim 19 or 20 for preparing a medicament in combination with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 98/22569

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D265/22 C07D239/90 C07D279/08 A61K31/535 A61K31/505
C07C235/48 C07C327/48 C07C323/62

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 96 04260 A (SMITHKLINE BEECHAM PLC ;HAIGH DAVID (GB); RAMI HARSHAD KANTILAL (G) 15 February 1996 cited in the application see claims	1-47
A	WO 95 17394 A (SMITHKLINE BEECHAM PLC ;CANTELLO BARRIE CHRISTIAN CHAR (GB); HAIGH) 29 June 1995 cited in the application see claims	1-47
A	WO 94 13650 A (SMITHKLINE BEECHAM PLC ;HAIGH DAVID (GB); RAMI HARSHAD KANTILAL (G) 23 June 1994 cited in the application see claims	1-47

-/--

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
22 January 1999	03/02/1999

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax (+31-70) 340-3016	Chouly, J

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 98/22569

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
A	WO 94 01420 A (SMITHKLINE BEECHAM PLC ;HAIGH DAVID (GB); SIME JOHN THOMAS (GB)) 20 January 1994 cited in the application see claims	1-47

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 98/22569

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.: 21-31 and 37
because they relate to subject matter not required to be searched by this Authority, namely:
Remark: Although claims 21-31 and 37 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

.rnational Application No
PCT/US 98/22569

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9604260 A	15-02-1996	AU 697545 B	08-10-1998
		AU 3382695 A	04-03-1996
		BG 101180 A	30-04-1998
		BR 9508468 A	25-11-1997
		CA 2196079 A	15-02-1996
		CN 1158123 A	27-08-1997
		CZ 9700254 A	17-09-1997
		EP 0772605 A	14-05-1997
		FI 970357 A	26-03-1997
		WO 9604261 A	15-02-1996
		HU 76637 A	28-10-1997
		JP 10503508 T	31-03-1998
		NO 970373 A	18-03-1997
		PL 318766 A	07-07-1997
		SK 12297 A	06-08-1997
WO 9517394 A	29-06-1995	NONE	
WO 9413650 A	23-06-1994	JP 8504199 T	07-05-1996
WO 9401420 A	20-01-1994	AU 678974 B	19-06-1997
		AU 4506893 A	31-01-1994
		CA 2139442 A	20-01-1994
		CN 1095716 A	30-11-1994
		CZ 9500010 A	18-10-1995
		EP 0648212 A	19-04-1995
		FI 950016 A	02-03-1995
		HU 71247 A	28-11-1995
		JP 7508747 T	28-09-1995
		MX 9303981 A	29-04-1994
		NO 950009 A	02-03-1995
		NZ 253742 A	24-06-1997
		NZ 299256 A	24-06-1997
		PL 307087 A	02-05-1995
		SK 695 A	11-07-1995
		ZA 9304730 A	03-01-1995